

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
10 June 2004 (10.06.2004)

PCT

(10) International Publication Number
WO 2004/048381 A3

(51) International Patent Classification⁷: **C07D 487/04**,
A61K 31/47, 31/4709 // (C07D 487/04, 231:00, 223:00)

(21) International Application Number:
PCT/US2003/032746

(22) International Filing Date:
10 November 2003 (10.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/428,892 22 November 2002 (22.11.2002) US

(71) Applicant (for all designated States except US): **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **SAWYER, Jason, Scott** [US/US]; 5718 North Winthrop Avenue, Indianapolis, IN 46220 (US).

(74) Agents: **SAYLES, Michael, J. et al.**; **ELI LILLY AND COMPANY**, P. O. Box 6288, Indianapolis, IN 46206-6288 (US).

(81) Designated States (national): AE, AG, AL, AM, AT (utility model), AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, EG, ES, FI (utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),

European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

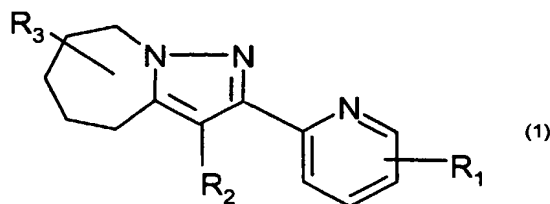
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

[Continued on next page]

(54) Title: **PYRAZOLOAZEPINE COMPOUNDS AS PHARMACEUTICAL AGENTS**



(57) Abstract: The disclosed invention relates to compounds of the formula (1), and the pharmaceutically acceptable salts thereof.



(88) Date of publication of the international search report:
5 August 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 03/32746

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 A61K31/47 A61K31/4709 //(C07D487/04, 231:00, 223:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, EMBASE, BIOSIS, PAJ, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 02/062787 A (GELLIBERT FRANCOISE JEANNE ; GLAXO GROUP LTD (GB)) 15 August 2002 (2002-08-15) the whole document	1-5
A	WO 02/062794 A (GELLIBERT FRANCOISE JEANNE ; HARTLEY CHARLES DAVID (GB); WOOLVEN JA) 15 August 2002 (2002-08-15) the whole document	1-5
A	WO 02/066462 A (GELLIBERT FRANCOISE JEANNE ; GLAXO GROUP LTD (GB); MATHEWS NEIL (GB)) 29 August 2002 (2002-08-29) the whole document	1-5
A	WO 01/16138 A (ABBOTT LAB) 8 March 2001 (2001-03-08) abstract; claims	1-5



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

A document defining the general state of the art which is not considered to be of particular relevance

E earlier document but published on or after the international filing date

L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

G document member of the same patent family

Date of the actual completion of the international search

27 May 2004

Date of mailing of the international search report

09/06/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Frelon, D

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/32746

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A,P	<p>WO 02/094833 A (CIAPETTI PAOLA ;BEIGHT DOUGLAS WADE (US); GODFREY ALEXANDER GLENN) 28 November 2002 (2002-11-28) cited in the application the whole document</p> <p>-----</p>	1-5

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No
PCT/03/32746

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02062787	A	15-08-2002	EP 1363904 A1 WO 02062787 A1	26-11-2003 15-08-2002
WO 02062794	A	15-08-2002	WO 02062794 A2 EP 1358187 A2 US 2004063949 A1	15-08-2002 05-11-2003 01-04-2004
WO 02066462	A	29-08-2002	WO 02066462 A1 EP 1355903 A1 US 2004087623 A1	29-08-2002 29-10-2003 06-05-2004
WO 0116138	A	08-03-2001	CA 2379421 A1 EP 1206474 A1 JP 2003508401 T WO 0116138 A1	08-03-2001 22-05-2002 04-03-2003 08-03-2001
WO 02094833	A	28-11-2002	BR 0209939 A CA 2446820 A1 EP 1397364 A1 NO 20035193 A WO 02094833 A1	30-03-2004 28-11-2002 17-03-2004 21-11-2003 28-11-2002